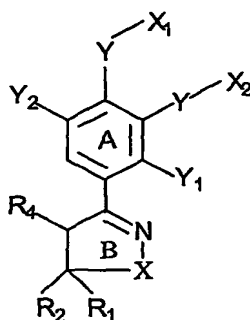


We Claim:

1. Compounds having the structure of Formula I:



Formula I

their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, enantiomers, diastereomers or N-oxides wherein

1) when X is oxygen in Formula I:

R₁ is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; substituted amino; hydroxyl; alkoxy; aryloxy; COR'; COOR'

(wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl); aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; (CH₂)₁₋₄OR' (wherein R' is as defined above, but also including hydroxy); C(=O)NR_xR_y

(wherein R_x and R_y can be independently selected from hydrogen, alkyl, C₃₋₆ alkenyl, C₃₋₆ alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

(CH₂)_m-C(=O)R₃

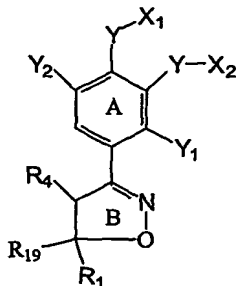
[wherein m is an integer in the range of 0-2 and R₃ can be optionally substituted R_p or R_q (wherein R_p can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the ring can be attached to (CH₂)_mC(=O) through N and R_q can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected from the group consisting of N, O and S wherein the ring can be attached to (CH₂)_mC(=O) through C) and wherein the substituents of R₃ can be one or more of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy, aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl,

- 31 optionally substituted amino (wherein the substituents are selected from C₁-C₆
32 alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether,
33 C(=O)NR₅R₆ (wherein R₅ and R₆ are independently selected from hydrogen,
34 alkyl, C₃₋₆ alkenyl, C₃₋₆ alkynyl, aryl, and aralkyl), optionally substituted
35 monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the
36 optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen,
37 hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or
38 heterocyclalkyl];
- 39 R₂ is selected from: cyano; heteroaryl; heterocyclyl; or (CH₂)_nNHCOR₇ (wherein n
40 represents an integer 1 to 6 and R₇ can represent hydrogen, alkyl, alkenyl, alkynyl,
41 (un)saturated, cycloalkyl, alkoxy, aryloxy, aryl, aralkyl, heteroaryl, heterocyclyl,
42 (CH₂)₁₋₄OR' wherein R' is the same as defined above, or NR_xR_y wherein R_x and R_y are the
43 same as defined above);
- 44 R₄ is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or C(=O)NR_xR_y wherein
45 R_x and R_y are the same as defined above;
- 46 X₁ and X₂ are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl;
47 acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;
- 48 Y is selected from: an oxygen atom; a sulphur atom; or NR
49 (wherein R is selected from hydrogen, alkyl, alkenyl, alkynyl, un(saturated)
50 cycloalkyl, acyl, aryl, aralkyl, heteroaryl, heterocyclyl, (heteroaryl)alkyl, or
51 (heterocyclyl)alkyl);
- 52 Y₁ and Y₂ are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR
53 wherein R is the same as defined earlier; SR wherein R is the same as defined earlier;
54 NHR wherein R is the same as defined earlier; COOR'; or COR' wherein R' is the same
55 as defined above, or further, Y₁ and X₂, X₁ and Y₂, X₁ and X₂ may together form a ring
56 fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3
57 heteroatoms selected from N, O or S; and
- 58 2) when X is NR₈ or S wherein R₈ is hydrogen, lower alkyl (C₁-C₆) or aryl:
- 59 R₁, R₄, X₁, X₂, Y, Y₁ and Y₂ are the same as defined above;

R_2 is selected from: $(CH)_nNHCO R_7$ (wherein n represents an integer 1 to 6 and R_7 is the same as defined above),

with the proviso that when R_2 is heterocyclyl, R_1 can not be $(CH_2)_{1-4}OR'$, $C(=O)NR_xR_y$ or $(CH_2)_m-C(=O)R_3$.

2. A compound having the structure of Formula XXXIV,



Formula XXXIV

their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, enantiomers, diastereomers or N-oxides

wherein

R_1 is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; substituted amino; hydroxyl; alkoxy; aryloxy; COR' ; $COOR'$

(wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl);

aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; $(CH_2)_{1-4}OR'$

(wherein R' is as defined above, but also including hydroxy); $C(=O)NR_xR_y$

(wherein R_x and R_y can be independently selected from hydrogen, alkyl, C_{3-6}

alkenyl, C_{3-6} alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl,

heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

$(CH_2)_m-C(=O)R_3$

[wherein m is an integer in the range of 0-2 and R_3 can be optionally substituted

R_p or R_q (wherein R_p can be a 4-12 membered (un)saturated monocyclic or

bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the

ring can be attached to $(CH_2)_mC(=O)$ through N and R_q can be a 4-12 membered

(un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected

from the group consisting of N, O and S wherein the ring can be attached to

(CH₂)_mC(=O) through C) and wherein the substituents of R₃ can be one or more of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy, aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl, optionally substituted amino (wherein the substituents are selected from C₁-C₆ alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether, C(=O)NR₅R₆ (wherein R₅ and R₆ are independently selected from hydrogen, alkyl, C₃₋₆ alkenyl, C₃₋₆ alkynyl, aryl, and aralkyl), optionally substituted monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen, hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl];

R₄ is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or C(=O)NR_xR_y wherein R_x and R_y are the same as defined above;

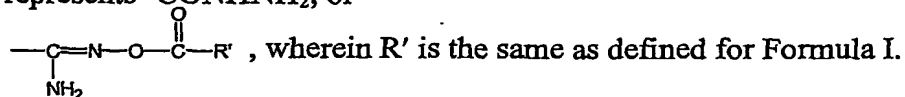
X₁ and X₂ are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;

Y is selected from: an oxygen atom; a sulphur atom; or NR

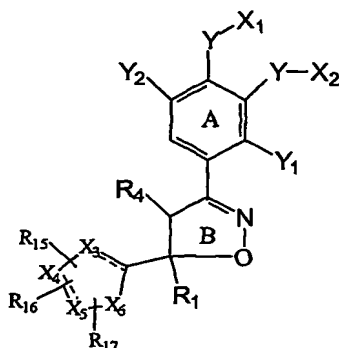
(wherein R is selected from hydrogen, alkyl, alkenyl, alkynyl, un(saturated) cycloalkyl, acyl, aryl, aralkyl, heteroaryl, heterocyclyl, (heteroaryl)alkyl, or (heterocyclyl)alkyl);

Y₁ and Y₂ are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR wherein R is the same as defined earlier; SR wherein R is the same as defined earlier; NHR wherein R is the same as defined earlier; COOR'; or COR' wherein R' is the same as defined above, or further, Y₁ and X₂, X₁ and Y₂, X₁ and X₂ may together form a ring fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3 heteroatoms selected from N, O or S; and

R₁₉ represents -CONHNH₂, or



3. The compound of claim 1 having the structure of Formula XXXII,



Formula XXXII

their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, enantiomers, diastereomers or N-oxides wherein

wherein

R_1 is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; substituted amino; hydroxyl; alkoxy; aryloxy; COR' ; $COOR'$

(wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl);

aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; $(CH_2)_{1-4}OR'$ (wherein R' is as defined above, but also including hydroxy); $C(=O)NR_xR_y$

(wherein R_x and R_y can be independently selected from hydrogen, alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

$(CH_2)_m-C(=O)R_3$

[wherein m is an integer in the range of 0-2 and R_3 can be optionally substituted R_p or R_q (wherein R_p can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the ring can be attached to $(CH_2)_mC(=O)$ through N and R_q can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected from the group consisting of N, O and S wherein the ring can be attached to $(CH_2)_mC(=O)$ through C) and wherein the substituents of R_3 can be one or more of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy, aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl,

84 optionally substituted amino (wherein the substituents are selected from C₁-C₆
85 alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether,
86 C(=O)NR₅R₆ (wherein R₅ and R₆ are independently selected from hydrogen,
87 alkyl, C₃₋₆ alkenyl, C₃₋₆ alkynyl, aryl, and aralkyl), optionally substituted
88 monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the
89 optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen,
90 hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or
91 heterocyclylalkyl];

92 R₄ is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or C(=O)NR_xR_y wherein
93 R_x and R_y are the same as defined above;

94 Y is selected from: an oxygen atom; a sulphur atom; or NR
95 (wherein R is selected from hydrogen, alkyl, alkenyl, alkynyl, un(saturated)
96 cycloalkyl, acyl, aryl, aralkyl, heteroaryl, heterocyclyl, (heteroaryl)alkyl, or
97 (heterocyclyl)alkyl);

98 Y₁ and Y₂ are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR
99 wherein R is the same as defined earlier; SR wherein R is the same as defined earlier;
100 NHR wherein R is the same as defined earlier; COOR'; or COR' wherein R' is the same
101 as defined above, or further, Y₁ and X₂, X₁ and Y₂, X₁ and X₂ may together form a ring
102 fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3
103 heteroatoms selected from N, O or S;

104 X₁ represents alkyl;

105 X₂ represents alkyl, cycloalkyl or aralkyl;

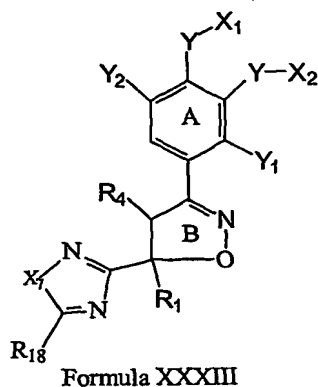
106 X₃, X₄, X₅ and X₆ independently represent C, CH, CH₂, CO, CS, NH, N, O, S; R₁₅,

107 R₁₆, and R₁₇ independently represent no atom, alkyl, COCH₃, COOC₂H₅, NH₂,

108 NH-cyclopropyl, CN, SH; and

109 ---- represents an optional single bond.

4. The compound of claim 1 having the structure of Formula XXIII,



their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, enantiomers, diastereomers or N-oxides wherein

wherein

R_1 is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; substituted amino; hydroxyl; alkoxy; aryloxy; COR' ; $COOR'$

(wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl); aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; $(CH_2)_{1-4}OR'$ (wherein R' is as defined above, but also including hydroxy); $C(=O)NR_xR_y$

(wherein R_x and R_y can be independently selected from hydrogen, alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

$(CH_2)_m-C(=O)R_3$

[wherein m is an integer in the range of 0-2 and R_3 can be optionally substituted R_p or R_q (wherein R_p can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the ring can be attached to $(CH_2)_mC(=O)$ through N and R_q can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected from the group consisting of N, O and S wherein the ring can be attached to $(CH_2)_mC(=O)$ through C) and wherein the substituents of R_3 can be one or more of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy, aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl,

optionally substituted amino (wherein the substituents are selected from C₁-C₆ alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether, C(=O)NR₅R₆ (wherein R₅ and R₆ are independently selected from hydrogen, alkyl, C₃₋₆ alkenyl, C₃₋₆ alkynyl, aryl, and aralkyl), optionally substituted monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen, hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl];

R₄ is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or C(=O)NR_xR_y wherein R_x and R_y are the same as defined above;

X₁ and X₂ are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;

Y is selected from: an oxygen atom; a sulphur atom; or NR (wherein R is selected from hydrogen, alkyl, alkenyl, alkynyl, un(saturated) cycloalkyl, acyl, aryl, aralkyl, heteroaryl, heterocyclyl, (heteroaryl)alkyl, or (heterocyclyl)alkyl);

Y₁ and Y₂ are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR wherein R is the same as defined earlier; SR wherein R is the same as defined earlier; NHR wherein R is the same as defined earlier; COOR'; or COR' wherein R' is the same as defined above, or further, Y₁ and X₂, X₁ and Y₂, X₁ and X₂ may together form a ring fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3 heteroatoms selected from N, O or S;

X₇ represents O or S; and

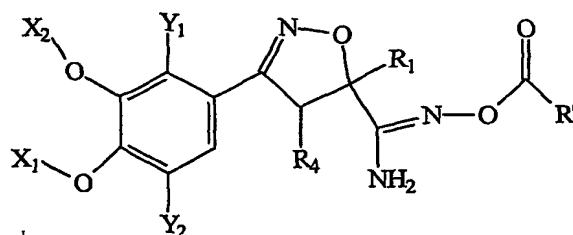
R₁₈ represents hydrogen, alkyl, aryl, heteroaryl, cycloalkyl or heterocyclyl.

5. The compound of claim 1 wherein R₂ is cyano.

6. The compound of claim 1 wherein R₂ is (CH₂)_nNHCOR₇, n represents an integer 1 to 6; and R₇ can represent hydrogen, alkyl, alkenyl, alkynyl, (un)saturated, cycloalkyl, alkoxy, aryloxy, aryl, aralkyl, heteroaryl, heterocyclyl, (CH₂)₁₋₄OR' wherein R' is the same as defined above, or NR_xR_y (wherein R_x and R_y can be independently selected from

- 5 hydrogen, alkyl, C₃₋₆ alkenyl, C₃₋₆ alkynyl, (un)saturated cycloalkyl, aryl, aralkyl,
6 heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclalkyl).
- 1 7. The compound of claim 1 wherein R₂ is 6-membered heteroaryl.
- 1 8. A pharmaceutical composition comprising a therapeutically effective amount of a
2 compound of claim 1, together with at least one pharmaceutically acceptable
3 carrier, excipient or diluent.
- 1 9. A method for treating, preventing, inhibiting or suppressing an inflammatory
2 condition or disease in a patient, comprising administering to the said patient a
3 therapeutically effective amount of a compound of claim 1.
- 1 10. A method for treating, preventing, inhibiting or suppressing an inflammatory
2 condition or disease in a patient, comprising administering to the said patient a
3 therapeutically effective amount of a pharmaceutical composition of claim 8.
- 1 11. A method for the treatment, prevention, inhibition or suppression of AIDS, asthma,
2 arthritis, bronchitis, chronic obstructive pulmonary disease (COPD), psoriasis,
3 allergic rhinitis, shock, atopic dermatitis, crohn's disease, adult respiratory distress
4 syndrome (ARDS), eosinophilic granuloma, allergic conjunctivitis, osteoarthritis,
5 ulcerative colitis and other inflammatory diseases in a patient comprising
6 administering to said patient a therapeutically effective amount of a compound of
7 claim 1.
- 1 12. A method for the treatment, prevention, inhibition or suppression of AIDS, asthma,
2 arthritis, bronchitis, chronic obstructive pulmonary disease (COPD), psoriasis,
3 allergic rhinitis, shock, atopic dermatitis, crohn's disease, adult respiratory distress
4 syndrome (ARDS), eosinophilic granuloma, allergic conjunctivitis, osteoarthritis,
5 ulcerative colitis and other inflammatory diseases in a patient comprising
6 administering to said patient a therapeutically effective amount of a pharmaceutical
7 composition of claim 8.

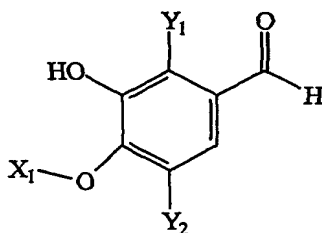
13. A method for the preparation of compounds of Formula VII (a),



Formula VII(a)

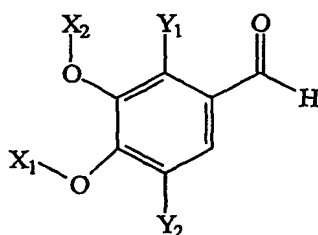
their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, enantiomers, diastereomers or N-oxides, the method comprising:

reacting a compound of Formula II



Formula II

with a compound of Formula X₂Z (wherein Z is halogen) to give a compound of Formula III, wherein



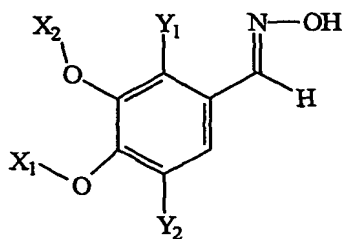
Formula III

X₁ and X₂ are independently selected from: alkyl; alkenyl; alkynyl; cycloalkyl; acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;

Y₁ and Y₂ are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR wherein R is the same as defined earlier; SR wherein R is the same as defined earlier; NHR wherein R is the same as defined earlier; COOR'; or COR' wherein R' is the same as defined above, or further, Y₁ and X₂, X₁ and Y₂, X₁ and X₂ may together form a ring

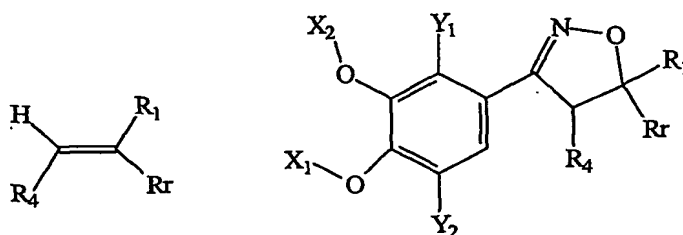
fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3 heteroatoms selected from N, O or S;

reacting the compound of Formula III with hydroxylamine hydrochloride to give a compound of Formula IV;



Formula IV

treating the compound of Formula IV with a compound of Formula V to give a compound of Formula VI



Formula V

Formula VI

wherein

R₁ is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; substituted amino; hydroxyl; alkoxy; aryloxy; COR'; COOR'

(wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl); aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; (CH₂)₁₋₄OR' (wherein R' is as defined above, but also including hydroxy); C(=O)NR_xR_y

(wherein R_x and R_y can be independently selected from hydrogen, alkyl, C₃₋₆ alkenyl, C₃₋₆ alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

(CH₂)_m-C(=O)R₃

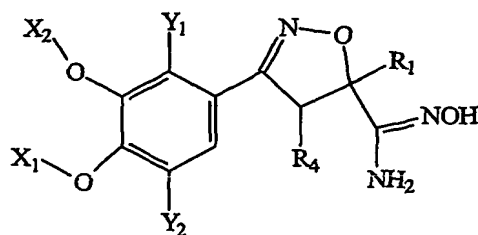
[wherein m is an integer in the range of 0-2 and R₃ can be optionally substituted

R_p or R_q (wherein R_p can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the ring can be attached to $(CH_2)_mC(=O)$ through N and R_q can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected from the group consisting of N, O and S wherein the ring can be attached to $(CH_2)_mC(=O)$ through C) and wherein the substituents of R_3 can be one or more of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy, aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl, optionally substituted amino (wherein the substituents are selected from C_1 - C_6 alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether, $C(=O)NR_5R_6$ (wherein R_5 and R_6 are independently selected from hydrogen, alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, aryl, and aralkyl), optionally substituted monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen, hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl];

R_4 is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or $C(=O)NR_xR_y$ wherein R_x and R_y are the same as defined above;

and R_r represents $[(CH_2)_nCN, COOH, COOCH_3, CHO$ or pyridyl, wherein n is 0 to 2)];

reacting the compound of Formula VI with hydroxylamine hydrochloride (when R_r is CN) to give a compound of Formula VII; and

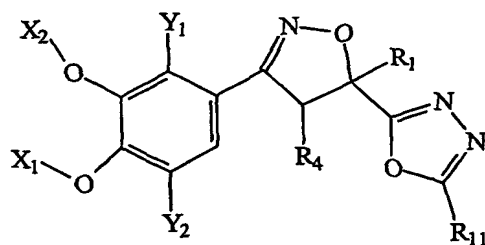


Formula VII

reacting the compound of Formula VII with a compound of Formula $(R'CO)_2O$ to give the compound of Formula VII(a) (wherein R' can be hydrogen, alkyl, alkenyl,

alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl).

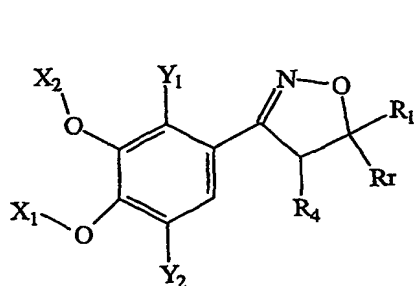
14. A method for the preparation of compounds of Formula IX,



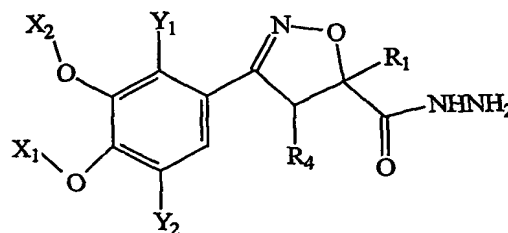
Formula IX

their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, enantiomers, diastereomers or N-oxides, the method comprising:

reacting a compound of Formula VI (when Rr is COOCH₃) with hydrazine hydrate to give a compounds of Formula VIII



Formula VI



Formula VIII

wherein

R₁ is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; substituted amino; hydroxyl; alkoxy; aryloxy; COR'; COOR'

(wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl);

aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; (CH₂)₁₋₄OR' (wherein R' is as defined above, but also including hydroxy); C(=O)NR_xR_y

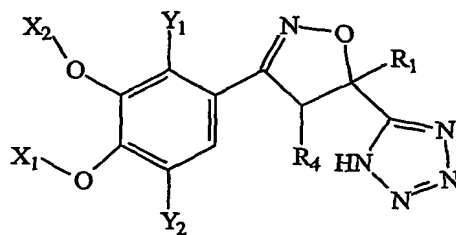
(wherein R_x and R_y can be independently selected from hydrogen, alkyl, C₃₋₆ alkenyl, C₃₋₆ alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

- 28 $(\text{CH}_2)_m\text{-C(=O)R}_3$
 29 [wherein m is an integer in the range of 0-2 and R_3 can be optionally substituted
 30 R_p or R_q (wherein R_p can be a 4-12 membered (un)saturated monocyclic or
 31 bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the
 32 ring can be attached to $(\text{CH}_2)_m\text{C(=O)}$ through N and R_q can be a 4-12 membered
 33 (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected
 34 from the group consisting of N, O and S wherein the ring can be attached to
 35 $(\text{CH}_2)_m\text{C(=O)}$ through C) and wherein the substituents of R_3 can be one or more
 36 of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy,
 37 aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl,
 38 optionally substituted amino (wherein the substituents are selected from $\text{C}_1\text{-C}_6$
 39 alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether,
 40 $\text{C(=O)NR}_5\text{R}_6$ (wherein R_5 and R_6 are independently selected from hydrogen,
 41 alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, aryl, and aralkyl), optionally substituted
 42 monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the
 43 optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen,
 44 hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or
 45 heterocyclylalkyl];
- 46 R_4 is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or $\text{C(=O)NR}_x\text{R}_y$ wherein
 47 R_x and R_y are the same as defined above;
- 48 X_1 and X_2 are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl;
 49 acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;
- 50 Y is selected from: an oxygen atom; a sulphur atom; or NR
 51 (wherein R is selected from hydrogen, alkyl, alkenyl, alkynyl, un(saturated)
 52 cycloalkyl, acyl, aryl, aralkyl, heteroaryl, heterocyclyl, (heteroaryl)alkyl, or
 53 (heterocyclyl)alkyl);
- 54 Y_1 and Y_2 are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR
 55 wherein R is the same as defined earlier; SR wherein R is the same as defined earlier;
 56 NHR wherein R is the same as defined earlier; COOR' ; or COR' wherein R' is the same
 57 as defined above, or further, Y_1 and X_2 , X_1 and Y_2 , X_1 and X_2 may together form a ring

fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3 heteroatoms selected from N, O or S;

reacting the compound of Formula VIII with a compound of Formula $\text{HC}(\text{OR}_{11})_3$ to give a compound of Formula IX (wherein R_{11} represents alkyl from C_1 to C_3).

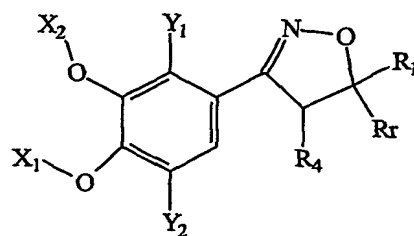
15. A method for the preparation of compounds of Formula X,



Formula X

their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, enantiomers, diastereomers or N-oxides, the method comprising:

reacting a compound of Formula VI (when R_r is CN)



Formula VI

wherein

R_1 is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; substituted amino; hydroxyl; alkoxy; aryloxy; COR' ; COOR'

(wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl); aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; $(\text{CH}_2)_{1-4}\text{OR}'$ (wherein R' is as defined above, but also including hydroxy); $\text{C}(=\text{O})\text{NR}_x\text{R}_y$

(wherein R_x and R_y can be independently selected from hydrogen, alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or $(\text{CH}_2)_m\text{-C}(=\text{O})\text{R}_3$

26 [wherein m is an integer in the range of 0-2 and R₃ can be optionally substituted
27 R_p or R_q (wherein R_p can be a 4-12 membered (un)saturated monocyclic or
28 bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the
29 ring can be attached to (CH₂)_mC(=O) through N and R_q can be a 4-12 membered
30 (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected
31 from the group consisting of N, O and S wherein the ring can be attached to
32 (CH₂)_mC(=O) through C) and wherein the substituents of R₃ can be one or more
33 of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy,
34 aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl,
35 optionally substituted amino (wherein the substituents are selected from C₁-C₆
36 alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether,
37 C(=O)NR₅R₆ (wherein R₅ and R₆ are independently selected from hydrogen,
38 alkyl, C₃₋₆ alkenyl, C₃₋₆ alkynyl, aryl, and aralkyl), optionally substituted
39 monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the
40 optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen,
41 hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or
42 heterocyclylalkyl];

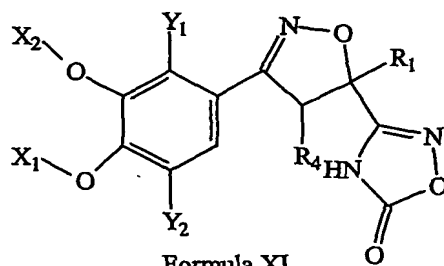
43 R₄ is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or C(=O)NR_xR_y wherein
44 R_x and R_y are the same as defined above;

45 X₁ and X₂ are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl;
46 acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;

47 Y₁ and Y₂ are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR
48 wherein R is the same as defined earlier; SR wherein R is the same as defined earlier;
49 NHR wherein R is the same as defined earlier; COOR'; or COR' wherein R' is the same
50 as defined above, or further, Y₁ and X₂, X₁ and Y₂, X₁ and X₂ may together form a ring
51 fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3
52 heteroatoms selected from N, O or S;

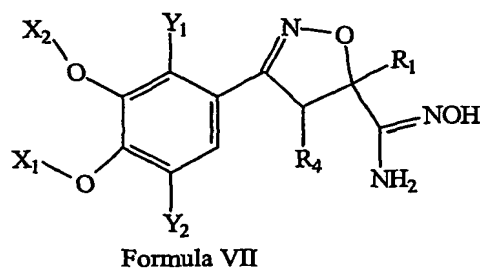
53 with sodium azide to give the compound of Formula X.

16. A method for the preparation of compounds of Formula XI,



their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, enantiomers, diastereomers or N-oxides, the method comprising:

reacting a compound of Formula VII



wherein

R_1 is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; substituted amino; hydroxyl; alkoxy; aryloxy; COR' ; $COOR'$

(wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl); aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; $(CH_2)_{1-4}OR'$ (wherein R' is as defined above, but also including hydroxy); $C(=O)NR_xR_y$

(wherein R_x and R_y can be independently selected from hydrogen, alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

$(CH_2)_m-C(=O)R_3$

[wherein m is an integer in the range of 0-2 and R_3 can be optionally substituted R_p or R_q (wherein R_p can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the

ring can be attached to $(\text{CH}_2)_m\text{C}(=\text{O})$ through N and R_q can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected from the group consisting of N, O and S wherein the ring can be attached to $(\text{CH}_2)_m\text{C}(=\text{O})$ through C) and wherein the substituents of R_3 can be one or more of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy, aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl, optionally substituted amino (wherein the substituents are selected from $\text{C}_1\text{-C}_6$ alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether, $\text{C}(=\text{O})\text{NR}_5\text{R}_6$ (wherein R_5 and R_6 are independently selected from hydrogen, alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, aryl, and aralkyl), optionally substituted monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen, hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl];

R_4 is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or $\text{C}(=\text{O})\text{NR}_x\text{R}_y$ wherein R_x and R_y are the same as defined above;

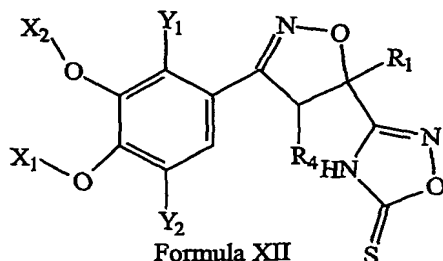
X_1 and X_2 are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;

Y_1 and Y_2 are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR wherein R is the same as defined earlier; SR wherein R is the same as defined earlier; NHR wherein R is the same as defined earlier; COOR' ; or COR' wherein R' is the same as defined above, or further, Y_1 and X_2 , X_1 and Y_2 , X_1 and X_2 may together form a ring fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3 heteroatoms selected from N, O or S;

with methyl chloroformate to give the compound of Formula XI.

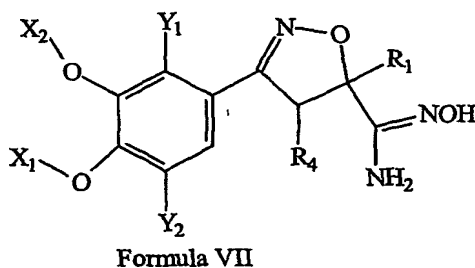
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17. A method for the preparation of compounds of Formula XII,



their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, enantiomers, diastereomers or N-oxides, the method comprising:

reacting compounds of Formula VII



wherein

R₁ is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; substituted amino; hydroxyl; alkoxy; aryloxy; COR'; COOR'

(wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl); aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; (CH₂)₁₋₄OR' (wherein R' is as defined above, but also including hydroxy); C(=O)NR_xR_y

(wherein R_x and R_y can be independently selected from hydrogen, alkyl, C₃₋₆ alkenyl, C₃₋₆ alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

(CH₂)_m-C(=O)R₃

[wherein m is an integer in the range of 0-2 and R₃ can be optionally substituted R_p or R_q (wherein R_p can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the ring can be attached to (CH₂)_mC(=O) through N and R_q can be a 4-12 membered

30 (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected
31 from the group consisting of N, O and S wherein the ring can be attached to
32 $(CH_2)_mC(=O)$ through C) and wherein the substituents of R_3 can be one or more
33 of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy,
34 aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl,
35 optionally substituted amino (wherein the substituents are selected from C_1-C_6
36 alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether,
37 $C(=O)NR_5R_6$ (wherein R_5 and R_6 are independently selected from hydrogen,
38 alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, aryl, and aralkyl), optionally substituted
39 monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the
40 optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen,
41 hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or
42 heterocyclylalkyl];

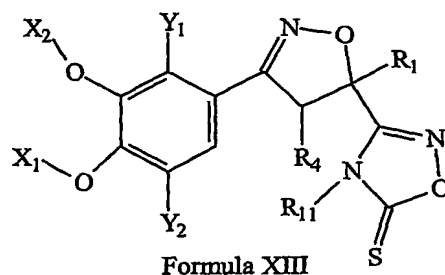
43 R_4 is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or $C(=O)NR_xR_y$ wherein
44 R_x and R_y are the same as defined above;

45 X_1 and X_2 are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl;
46 acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;

47 Y_1 and Y_2 are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR
48 wherein R is the same as defined earlier; SR wherein R is the same as defined earlier;
49 NHR wherein R is the same as defined earlier; $COOR'$; or COR' wherein R' is the same
50 as defined above, or further, Y_1 and X_2 , X_1 and Y_2 , X_1 and X_2 may together form a ring
51 fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3
52 heteroatoms selected from N, O or S;

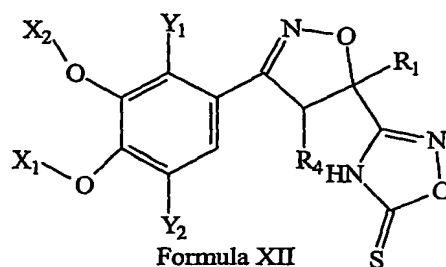
53 with thiocarbonyl diimidazole and 1,8-diazabicyclo[5.4.0]undec-7-one to give the
54 compound of Formula XII.

18. A method for the preparation of compounds of Formula XIII,



their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, enantiomers, diastereomers or N-oxides, the method comprising:

treating a compounds of Formula XII,



wherein

R_1 is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; substituted amino; hydroxyl; alkoxy; aryloxy; COR' ; $COOR'$

(wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl); aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; $(CH_2)_{1-4}OR'$ (wherein R' is as defined above, but also including hydroxy); $C(=O)NR_xR_y$

(wherein R_x and R_y can be independently selected from hydrogen, alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

$(CH_2)_m-C(=O)R_3$

[wherein m is an integer in the range of 0-2 and R_3 can be optionally substituted R_p or R_q (wherein R_p can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the ring can be attached to $(CH_2)_mC(=O)$ through N and R_q can be a 4-12 membered

31 (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected
32 from the group consisting of N, O and S wherein the ring can be attached to
33 $(CH_2)_mC(=O)$ through C) and wherein the substituents of R_3 can be one or more
34 of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy,
35 aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl,
36 optionally substituted amino (wherein the substituents are selected from C_1 - C_6
37 alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether,
38 $C(=O)NR_5R_6$ (wherein R_5 and R_6 are independently selected from hydrogen,
39 alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, aryl, and aralkyl), optionally substituted
40 monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the
41 optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen,
42 hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or
43 heterocyclylalkyl];

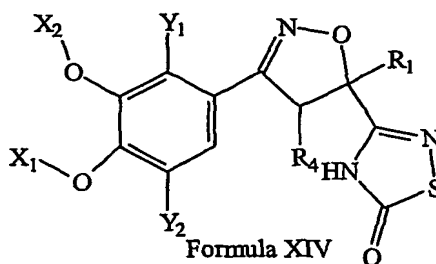
44 R_4 is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or $C(=O)NR_xR_y$ wherein
45 R_x and R_y are the same as defined above;

46 X_1 and X_2 are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl;
47 acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;

48 Y_1 and Y_2 are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR
49 wherein R is the same as defined earlier; SR wherein R is the same as defined earlier;
50 NHR wherein R is the same as defined earlier; $COOR'$; or COR' wherein R' is the same
51 as defined above, or further, Y_1 and X_2 , X_1 and Y_2 , X_1 and X_2 may together form a ring
52 fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3
53 heteroatoms selected from N, O or S;

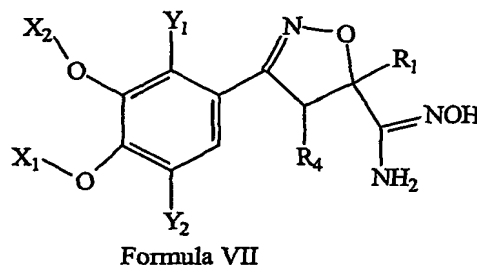
54 with a compound of Formula $R_{11}Z$ (wherein Z is halogen) to gives the compound
55 of Formula XIII (wherein R_{11} is alkyl).

19. A method for the preparation of compounds of Formula XIV,



their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, enantiomers, diastereomers or N-oxides, the method comprising:

reacting a compound of Formula VII



wherein

R_1 is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; substituted amino; hydroxyl; alkoxy; aryloxy; COR' ; $COOR'$

(wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl); aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; $(CH_2)_{1-4}OR'$ (wherein R' is as defined above, but also including hydroxy); $C(=O)NR_xR_y$

(wherein R_x and R_y can be independently selected from hydrogen, alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

$(CH_2)_m-C(=O)R_3$

[wherein m is an integer in the range of 0-2 and R_3 can be optionally substituted

22 R_p or R_q (wherein R_p can be a 4-12 membered (un)saturated monocyclic or
23 bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the
24 ring can be attached to $(CH_2)_mC(=O)$ through N and R_q can be a 4-12 membered
25 (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected
26 from the group consisting of N, O and S wherein the ring can be attached to
27 $(CH_2)_mC(=O)$ through C) and wherein the substituents of R_3 can be one or more
28 of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy,
29 aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl,
30 optionally substituted amino (wherein the substituents are selected from C_1-C_6
31 alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether,
32 $C(=O)NR_5R_6$ (wherein R_5 and R_6 are independently selected from hydrogen,
33 alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, aryl, and aralkyl), optionally substituted
34 monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the
35 optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen,
36 hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or
37 heterocyclylalkyl];

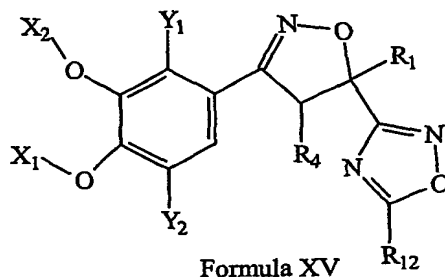
38 R_4 is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or $C(=O)NR_xR_y$ wherein
39 R_x and R_y are the same as defined above;

40 X_1 and X_2 are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl;
41 acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;

42 Y_1 and Y_2 are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR
43 wherein R is the same as defined earlier; SR wherein R is the same as defined earlier;
44 NHR wherein R is the same as defined earlier; $COOR'$; or COR' wherein R' is the same
45 as defined above, or further, Y_1 and X_2 , X_1 and Y_2 , X_1 and X_2 may together form a ring
46 fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3
47 heteroatoms selected from N, O or S;

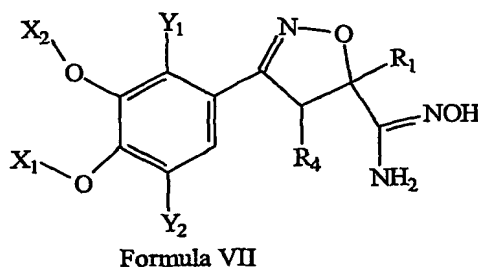
48 with thiocarbonyl diimidazole and boron trifluoride etherate to give the compound
49 of Formula XIV.

20. A method for the preparation of compounds of Formula XV,



their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, enantiomers, diastereomers or N-oxides, the method comprising:

reacting compounds of Formula VII



wherein

R_1 is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; substituted amino; hydroxyl; alkoxy; aryloxy; COR' ; $COOR'$

(wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl); aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; $(CH_2)_{1-4}OR'$ (wherein R' is as defined above, but also including hydroxy); $C(=O)NR_xR_y$

(wherein R_x and R_y can be independently selected from hydrogen, alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

$(CH_2)_m-C(=O)R_3$

[wherein m is an integer in the range of 0-2 and R_3 can be optionally substituted

R_p or R_q (wherein R_p can be a 4-12 membered (un)saturated monocyclic or

bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the

ring can be attached to $(\text{CH}_2)_m\text{C}(=\text{O})$ through N and R_q can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected from the group consisting of N, O and S wherein the ring can be attached to $(\text{CH}_2)_m\text{C}(=\text{O})$ through C) and wherein the substituents of R_3 can be one or more of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy, aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl, optionally substituted amino (wherein the substituents are selected from $\text{C}_1\text{-C}_6$ alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether, $\text{C}(=\text{O})\text{NR}_5\text{R}_6$ (wherein R_5 and R_6 are independently selected from hydrogen, alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, aryl, and aralkyl), optionally substituted monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen, hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl];

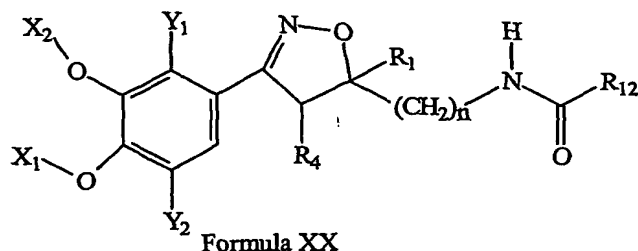
R_4 is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or $\text{C}(=\text{O})\text{NR}_x\text{R}_y$ wherein R_x and R_y are the same as defined above;

X_1 and X_2 are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;

Y_1 and Y_2 are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR wherein R is the same as defined earlier; SR wherein R is the same as defined earlier; NHR wherein R is the same as defined earlier; COOR' ; or COR' wherein R' is the same as defined above, or further, Y_1 and X_2 , X_1 and Y_2 , X_1 and X_2 may together form a ring fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3 heteroatoms selected from N, O or S;

with compounds of Formula (a) R_{12}COOH ; (b) R_{12}COCl or (c) $\text{R}_{12}\text{COOC}_2\text{H}_5$ to give the compound of Formula XV (wherein R_{12} is alkyl, cycloalkyl, aryl, heteroaryl or heterocyclyl).

21. A method for the preparation of compounds of Formula XX,



their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, enantiomers, diastereomers or N-oxides, wherein

R₁ is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; substituted amino; hydroxyl; alkoxy; aryloxy; COR'; COOR'

(wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl);

aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; (CH₂)₁₋₄OR' (wherein R' is as defined above, but also including hydroxy); C(=O)NR_xR_y

(wherein R_x and R_y can be independently selected from hydrogen, alkyl, C₃₋₆ alkenyl, C₃₋₆ alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

(CH₂)_m-C(=O)R₃

[wherein m is an integer in the range of 0-2 and R₃ can be optionally substituted R_p or R_q (wherein R_p can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the ring can be attached to (CH₂)_mC(=O) through N and R_q can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected from the group consisting of N, O and S wherein the ring can be attached to (CH₂)_mC(=O) through C) and wherein the substituents of R₃ can be one or more of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy, aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl, optionally substituted amino (wherein the substituents are selected from C₁-C₆ alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether, C(=O)NR₅R₆ (wherein R₅ and R₆ are independently selected from hydrogen,

alkyl, C₃₋₆ alkenyl, C₃₋₆ alkynyl, aryl, and aralkyl), optionally substituted monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen, hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl];

R₄ is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or C(=O)NR_xR_y wherein R_x and R_y are the same as defined above;

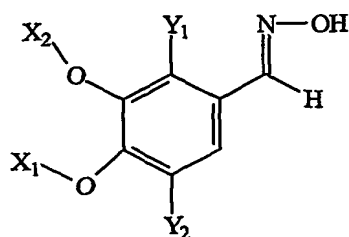
X₁ and X₂ are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;

Y₁ and Y₂ are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR wherein R is the same as defined earlier; SR wherein R is the same as defined earlier; NHR wherein R is the same as defined earlier; COOR'; or COR' wherein R' is the same as defined above, or further, Y₁ and X₂, X₁ and Y₂, X₁ and X₂ may together form a ring fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3 heteroatoms selected from N, O or S; and

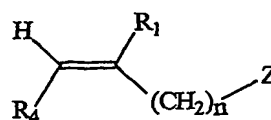
R₁₂ is alkyl, cycloalkyl, aryl, heteroaryl or heterocyclyl;

the method comprising:

reacting a compound of Formula IV with a compound of Formula XVI

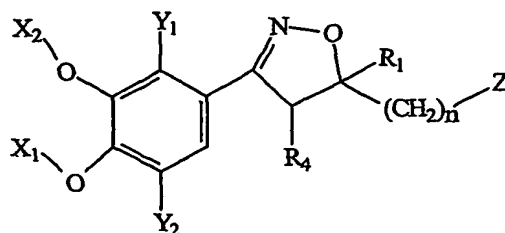


Formula IV



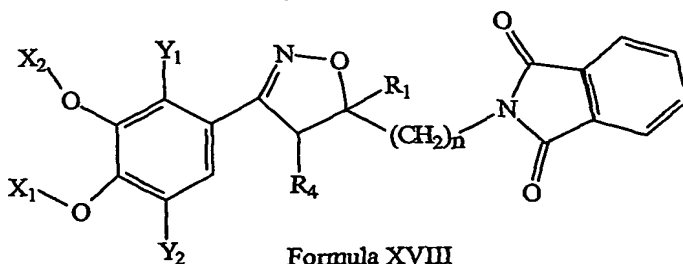
Formula XVI

to give a compound of Formula XVII;

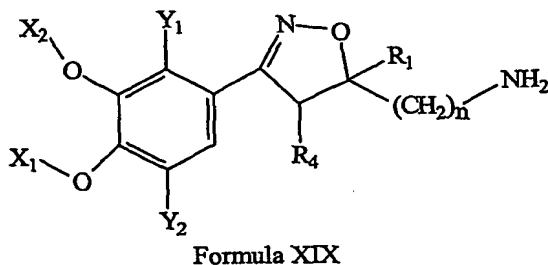


Formula XVII

treating the compound of Formula XVII with potassium phthalamide to give a compound of Formula XVIII;

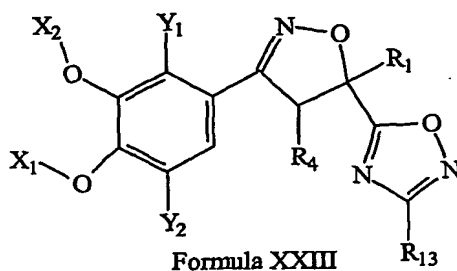


treating the compound of Formula XVIII with a hydrazine hydrate to give a compound of Formula XIX; and



treating the compound of Formula XIX with a compound of Formula $R_{12}COCl$ or $R_{12}COOH$ to give the compound of Formula XX.

22. A method for the preparation of compounds of Formula XXIII,



their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, enantiomers, diastereomers or N-oxides, wherein

R_1 is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; substituted amino; hydroxyl; alkoxy; aryloxy; COR' ; $COOR'$

(wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl,

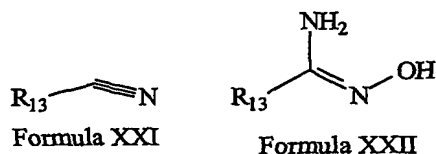
14 aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl);
 15 aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; (CH₂)₁₋₄OR'
 16 (wherein R' is as defined above, but also including hydroxy); C(=O)NR_xR_y
 17 (wherein R_x and R_y can be independently selected from hydrogen, alkyl, C₃₋₆
 18 alkenyl, C₃₋₆ alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl,
 19 heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or
 20 (CH₂)_m-C(=O)R₃
 21 [wherein m is an integer in the range of 0-2 and R₃ can be optionally substituted
 22 R_p or R_q (wherein R_p can be a 4-12 membered (un)saturated monocyclic or
 23 bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the
 24 ring can be attached to (CH₂)_mC(=O) through N and R_q can be a 4-12 membered
 25 (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected
 26 from the group consisting of N, O and S wherein the ring can be attached to
 27 (CH₂)_mC(=O) through C) and wherein the substituents of R₃ can be one or more
 28 of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy,
 29 aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl,
 30 optionally substituted amino (wherein the substituents are selected from C₁-C₆
 31 alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether,
 32 C(=O)NR₅R₆ (wherein R₅ and R₆ are independently selected from hydrogen,
 33 alkyl, C₃₋₆ alkenyl, C₃₋₆ alkynyl, aryl, and aralkyl), optionally substituted
 34 monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the
 35 optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen,
 36 hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or
 37 heterocyclylalkyl];
 38 R₄ is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or C(=O)NR_xR_y wherein
 39 R_x and R_y are the same as defined above;
 40 X₁ and X₂ are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl;
 41 acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;
 42 Y₁ and Y₂ are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR
 43 wherein R is the same as defined earlier; SR wherein R is the same as defined earlier;
 44 NHR wherein R is the same as defined earlier; COOR'; or COR' wherein R' is the same

as defined above, or further, Y_1 and X_2 , X_1 and Y_2 , X_1 and X_2 may together form a ring fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3 heteroatoms selected from N, O or S; and

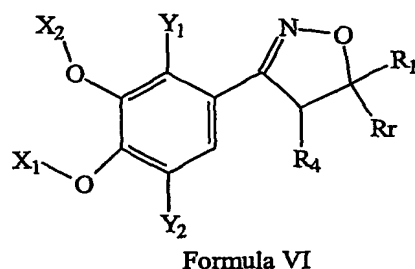
R_{13} is alkyl, aryl or heteroaryl;

the method comprising

reacting compounds of Formula XXI with hydroxylamine hydrochloride to give compounds of Formula XXII,

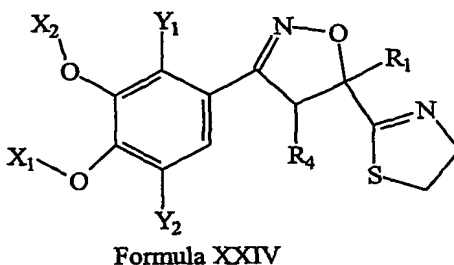


which on reaction with compounds of Formula VI (when R_r is COOH),



gives compounds of Formula XXIII.

23. A method for the preparation of compounds of Formula XXIV,



their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, enantiomers, diastereomers or N-oxides, wherein

R_1 is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; substituted amino; hydroxyl; alkoxy; aryloxy; COR' ; $COOR'$

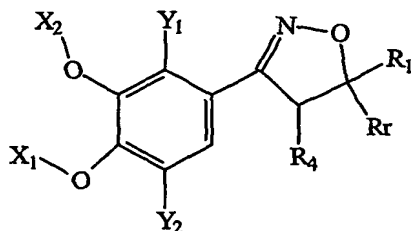
(wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl,

13 aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl);
 14 aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; (CH₂)₁₋₄OR'
 15 (wherein R' is as defined above, but also including hydroxy); C(=O)NR_xR_y
 16 (wherein R_x and R_y can be independently selected from hydrogen, alkyl, C₃₋₆
 17 alkenyl, C₃₋₆ alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl,
 18 heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or
 19 (CH₂)_m-C(=O)R₃
 20 [wherein m is an integer in the range of 0-2 and R₃ can be optionally substituted
 21 R_p or R_q (wherein R_p can be a 4-12 membered (un)saturated monocyclic or
 22 bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the
 23 ring can be attached to (CH₂)_mC(=O) through N and R_q can be a 4-12 membered
 24 (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected
 25 from the group consisting of N, O and S wherein the ring can be attached to
 26 (CH₂)_mC(=O) through C) and wherein the substituents of R₃ can be one or more
 27 of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy,
 28 aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl,
 29 optionally substituted amino (wherein the substituents are selected from C₁-C₆
 30 alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether,
 31 C(=O)NR₅R₆ (wherein R₅ and R₆ are independently selected from hydrogen,
 32 alkyl, C₃₋₆ alkenyl, C₃₋₆ alkynyl, aryl, and aralkyl), optionally substituted
 33 monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the
 34 optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen,
 35 hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or
 36 heterocyclylalkyl];
 37 R₄ is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or C(=O)NR_xR_y wherein
 38 R_x and R_y are the same as defined above;
 39 X₁ and X₂ are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl;
 40 acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;
 41 Y₁ and Y₂ are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR
 42 wherein R is the same as defined earlier; SR wherein R is the same as defined earlier;
 43 NHR wherein R is the same as defined earlier; COOR'; or COR' wherein R' is the same

as defined above, or further, Y_1 and X_2 , X_1 and Y_2 , X_1 and X_2 may together form a ring fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3 heteroatoms selected from N, O or S;

the method comprising:

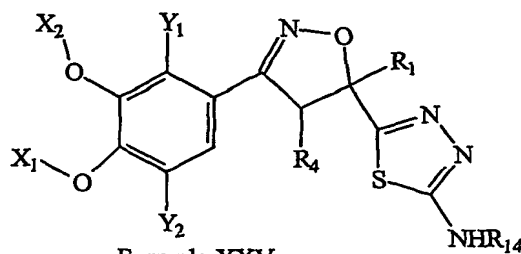
reacting a compound of Formula VI (when R_r is CN)



Formula VI

with $\text{NH}_2\text{CH}_2\text{CH}_2\text{SH} \cdot \text{HCl}$ to give the compounds of Formula XXIV.

24. A method for the preparation of compounds of Formula XXV,



Formula XXV

their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, enantiomers, diastereomers or N-oxides, wherein

R_1 is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; substituted amino; hydroxyl; alkoxy; aryloxy; COR'; COOR'

(wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl);

aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; $(\text{CH}_2)_{1-4}\text{OR}'$

(wherein R' is as defined above, but also including hydroxy); $\text{C}(=\text{O})\text{NR}_x\text{R}_y$

(wherein R_x and R_y can be independently selected from hydrogen, alkyl, C_{3-6}

alkenyl, C_{3-6} alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl,

heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

$(\text{CH}_2)_m\text{-C}(=\text{O})\text{R}_3$

[wherein m is an integer in the range of 0-2 and R_3 can be optionally substituted

75 R_p or R_q (wherein R_p can be a 4-12 membered (un)saturated monocyclic or
76 bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the
77 ring can be attached to $(CH_2)_mC(=O)$ through N and R_q can be a 4-12 membered
78 (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected
79 from the group consisting of N, O and S wherein the ring can be attached to
80 $(CH_2)_mC(=O)$ through C) and wherein the substituents of R_3 can be one or more
81 of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy,
82 aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl,
83 optionally substituted amino (wherein the substituents are selected from C_1 - C_6
84 alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether,
85 $C(=O)NR_5R_6$ (wherein R_5 and R_6 are independently selected from hydrogen,
86 alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, aryl, and aralkyl), optionally substituted
87 monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the
88 optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen,
89 hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or
90 heterocyclalkyl];

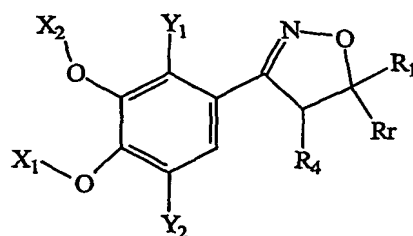
91 R_4 is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or $C(=O)NR_xR_y$ wherein
92 R_x and R_y are the same as defined above;

93 X_1 and X_2 are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl;
94 acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;

95 Y_1 and Y_2 are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR
96 wherein R is the same as defined earlier; SR wherein R is the same as defined earlier;
97 NHR wherein R is the same as defined earlier; $COOR'$; or COR' wherein R' is the same
98 as defined above, or further, Y_1 and X_2 , X_1 and Y_2 , X_1 and X_2 may together form a ring
99 fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3
100 heteroatoms selected from N, O or S;

101 the method comprising:

102 reacting a Formula VI

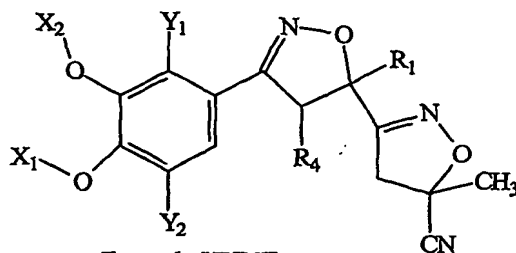


Formula VI

103

104 (wherein Rr is COOH) with $\text{NH}_2\text{NHCSNHR}_{14}$ (wherein R_{14} represents hydrogen,
105 alkyl or cycloalkyl) to give the compound of Formula XXV.

1 25. A method for the preparation of compounds of Formula XXVII,



Formula XXVII

8 their pharmaceutically acceptable salts, pharmaceutically acceptable solvates,
9 enantiomers, diastereomers or N-oxides,

10 wherein

11 R_1 is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino;
12 substituted amino; hydroxyl; alkoxy; aryloxy; COR' ; COOR'

13 (wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl,
14 aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl);

15 aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; $(\text{CH}_2)_{1-4}\text{OR}'$

16 (wherein R' is as defined above, but also including hydroxy); $\text{C}(=\text{O})\text{NR}_x\text{R}_y$

17 (wherein R_x and R_y can be independently selected from hydrogen, alkyl, C_{3-6}
18 alkenyl, C_{3-6} alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl,

19 heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

20 $(\text{CH}_2)_m\text{-C}(=\text{O})\text{R}_3$

21 [wherein m is an integer in the range of 0-2 and R_3 can be optionally substituted

22 R_p or R_q (wherein R_p can be a 4-12 membered (un)saturated monocyclic or

23 bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the
24 ring can be attached to $(\text{CH}_2)_m\text{C}(=\text{O})$ through N and R_q can be a 4-12 membered
25 (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected
26 from the group consisting of N, O and S wherein the ring can be attached to
27 $(\text{CH}_2)_m\text{C}(=\text{O})$ through C) and wherein the substituents of R_3 can be one or more
28 of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy,
29 aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl,
30 optionally substituted amino (wherein the substituents are selected from $\text{C}_1\text{-C}_6$
31 alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether,
32 $\text{C}(=\text{O})\text{NR}_5\text{R}_6$ (wherein R_5 and R_6 are independently selected from hydrogen,
33 alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, aryl, and aralkyl), optionally substituted
34 monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the
35 optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen,
36 hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or
37 heterocyclylalkyl];

38 R_4 is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or $\text{C}(=\text{O})\text{NR}_x\text{R}_y$ wherein
39 R_x and R_y are the same as defined above;

40 X_1 and X_2 are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl;
41 acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;

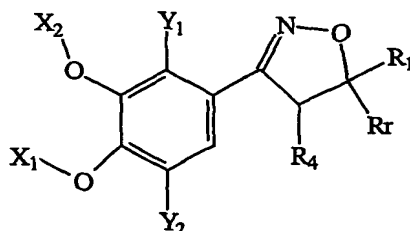
42 Y_1 and Y_2 are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR
43 wherein R is the same as defined earlier; SR wherein R is the same as defined earlier;

44 NHR wherein R is the same as defined earlier; COOR' ; or COR' wherein R' is the same
45 as defined above, or further, Y_1 and X_2 , X_1 and Y_2 , X_1 and X_2 may together form a ring
46 fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3

47 heteroatoms selected from N, O or S;

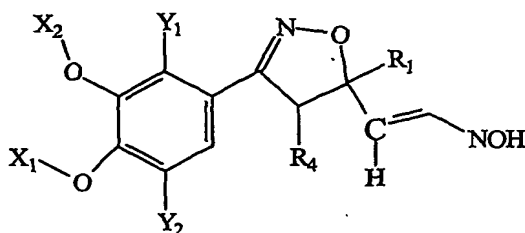
48 the method comprising:

reacting a compound of Formula VI



Formula VI

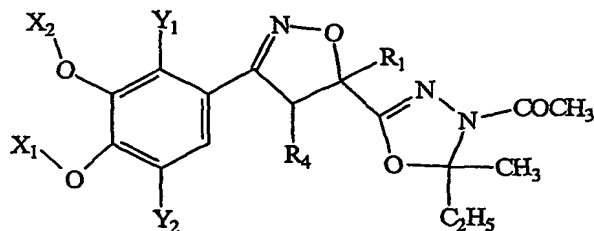
(wherein Rr is CHO) with hydroxylamine hydrochloride to give a compound of Formula XXVI; and



Formula XXVI

reacting the compound of Formula XXVI with methacrylonitrile to give the compound of Formula XXVII.

26. A method for the preparation of compounds of Formula XXIX,



Formula XXIX

their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, enantiomers, diastereomers or N-oxides, wherein

R₁ is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; substituted amino; hydroxyl; alkoxy; aryloxy; COR'; COOR'

(wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl);

15 aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; $(CH_2)_{1-4}OR'$
 16 (wherein R' is as defined above, but also including hydroxy); $C(=O)NR_xR_y$

17 (wherein R_x and R_y can be independently selected from hydrogen, alkyl, C_{3-6}
 18 alkenyl, C_{3-6} alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl,
 19 heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

20 $(CH_2)_m-C(=O)R_3$

21 [wherein m is an integer in the range of 0-2 and R_3 can be optionally substituted
 22 R_p or R_q (wherein R_p can be a 4-12 membered (un)saturated monocyclic or
 23 bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the
 24 ring can be attached to $(CH_2)_mC(=O)$ through N and R_q can be a 4-12 membered
 25 (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected
 26 from the group consisting of N, O and S wherein the ring can be attached to
 27 $(CH_2)_mC(=O)$ through C) and wherein the substituents of R_3 can be one or more
 28 of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy,
 29 aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl,
 30 optionally substituted amino (wherein the substituents are selected from C_1-C_6
 31 alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether,
 32 $C(=O)NR_5R_6$ (wherein R_5 and R_6 are independently selected from hydrogen,
 33 alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, aryl, and aralkyl), optionally substituted
 34 monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the
 35 optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen,
 36 hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or
 37 heterocyclylalkyl];

38 R_4 is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or $C(=O)NR_xR_y$ wherein
 39 R_x and R_y are the same as defined above;

40 X_1 and X_2 are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl;
 41 acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;

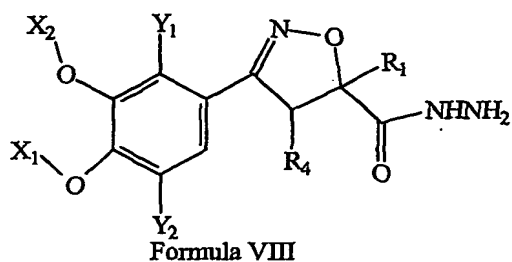
42 Y_1 and Y_2 are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR
 43 wherein R is the same as defined earlier; SR wherein R is the same as defined earlier;

44 NHR wherein R is the same as defined earlier; $COOR'$; or COR' wherein R' is the same
 45 as defined above, or further, Y_1 and X_2 , X_1 and Y_2 , X_1 and X_2 may together form a ring

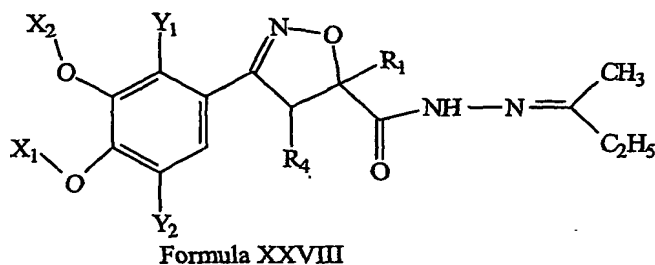
fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3 heteroatoms selected from N, O or S;

the method comprising:

reacting a compound of Formula VIII

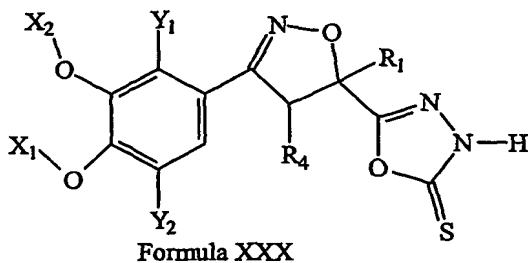


with ethylmethylketone to give a compound of Formula XXVIII; and



treating the compound of Formula XXVIII with acetic anhydride to give the compound of Formula XXIX.

27. A process for the preparation of compounds of Formula XXX,



their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, enantiomers, diastereomers or N-oxides, wherein

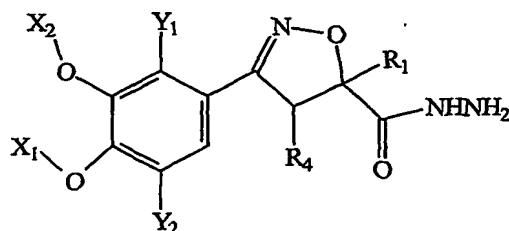
R₁ is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; substituted amino; hydroxyl; alkoxy; aryloxy; COR'; COOR'

(wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl,

- 13 aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl);
 14 aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; $(\text{CH}_2)_{1-4}\text{OR}'$
 15 (wherein R' is as defined above, but also including hydroxy); $\text{C}(=\text{O})\text{NR}_x\text{R}_y$
 16 (wherein R_x and R_y can be independently selected from hydrogen, alkyl, C_{3-6}
 17 alkenyl, C_{3-6} alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl,
 18 heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or
 19 $(\text{CH}_2)_m\text{-C}(=\text{O})\text{R}_3$
 20 [wherein m is an integer in the range of 0-2 and R_3 can be optionally substituted
 21 R_p or R_q (wherein R_p can be a 4-12 membered (un)saturated monocyclic or
 22 bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the
 23 ring can be attached to $(\text{CH}_2)_m\text{C}(=\text{O})$ through N and R_q can be a 4-12 membered
 24 (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected
 25 from the group consisting of N, O and S wherein the ring can be attached to
 26 $(\text{CH}_2)_m\text{C}(=\text{O})$ through C) and wherein the substituents of R_3 can be one or more
 27 of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy,
 28 aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl,
 29 optionally substituted amino (wherein the substituents are selected from $\text{C}_1\text{-C}_6$
 30 alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether,
 31 $\text{C}(=\text{O})\text{NR}_5\text{R}_6$ (wherein R_5 and R_6 are independently selected from hydrogen,
 32 alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, aryl, and aralkyl), optionally substituted
 33 monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the
 34 optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen,
 35 hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or
 36 heterocyclylalkyl];
 37 R_4 is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or $\text{C}(=\text{O})\text{NR}_x\text{R}_y$ wherein
 38 R_x and R_y are the same as defined above;
 39 X_1 and X_2 are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl;
 40 acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;
 41 Y_1 and Y_2 are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR
 42 wherein R is the same as defined earlier; SR wherein R is the same as defined earlier;
 43 NHR wherein R is the same as defined earlier; COOR' ; or COR' wherein R' is the same

as defined above, or further, Y_1 and X_2 , X_1 and Y_2 , X_1 and X_2 may together form a ring fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3 heteroatoms selected from N, O or S;

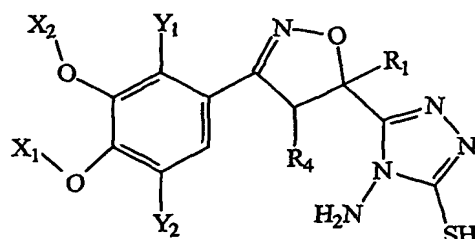
the method comprising reacting a compound of Formula VIII



Formula VIII

with carbon disulphide to give the compound of Formula XXXI.

28. A method for the preparation of compounds of Formula XXXI,



Formula XXXI

their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, enantiomers, diastereomers or N-oxides, wherein

R_1 is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; substituted amino; hydroxyl; alkoxy; aryloxy; COR' ; $COOR'$

(wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl); aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; $(CH_2)_{1-4}OR'$ (wherein R' is as defined above, but also including hydroxy); $C(=O)NR_xR_y$

(wherein R_x and R_y can be independently selected from hydrogen, alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

20 $(\text{CH}_2)_m\text{-C(=O)R}_3$

21 [wherein m is an integer in the range of 0-2 and R_3 can be optionally substituted
 22 R_p or R_q (wherein R_p can be a 4-12 membered (un)saturated monocyclic or
 23 bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the
 24 ring can be attached to $(\text{CH}_2)_m\text{C(=O)}$ through N and R_q can be a 4-12 membered
 25 (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected
 26 from the group consisting of N, O and S wherein the ring can be attached to
 27 $(\text{CH}_2)_m\text{C(=O)}$ through C) and wherein the substituents of R_3 can be one or more
 28 of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy,
 29 aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl,
 30 optionally substituted amino (wherein the substituents are selected from $\text{C}_1\text{-C}_6$
 31 alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether,
 32 $\text{C(=O)NR}_5\text{R}_6$ (wherein R_5 and R_6 are independently selected from hydrogen,
 33 alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, aryl, and aralkyl), optionally substituted
 34 monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the
 35 optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen,
 36 hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or
 37 heterocyclylalkyl];

38 R_4 is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or $\text{C(=O)NR}_x\text{R}_y$ wherein
 39 R_x and R_y are the same as defined above;

40 X_1 and X_2 are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl;
 41 acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;

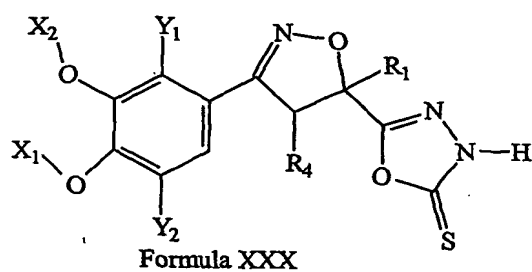
42 Y_1 and Y_2 are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR
 43 wherein R is the same as defined earlier; SR wherein R is the same as defined earlier;

44 NHR wherein R is the same as defined earlier; COOR' ; or COR' wherein R' is the same
 45 as defined above, or further, Y_1 and X_2 , X_1 and Y_2 , X_1 and X_2 may together form a ring
 46 fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3
 47 heteroatoms selected from N, O or S;

48 the method comprising:

105

49 treating a compound of Formula XXX



56 with hydrazine hydrate to give the compounds of Formula XXXI.